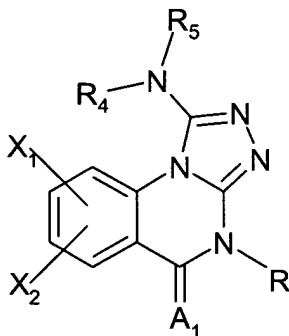


-Amendments to the Claims-

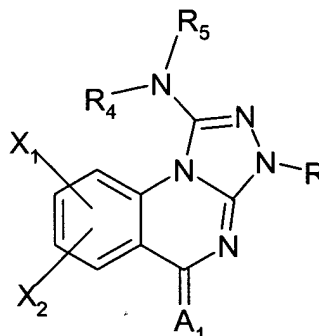
Cancel claims 1 - 34, without waiver or prejudice and add claims 35 - 77.

1. - 34. (Canceled)

35. (Re-presented - formerly independent claim # 1): A compound of Formula I or Formula II:



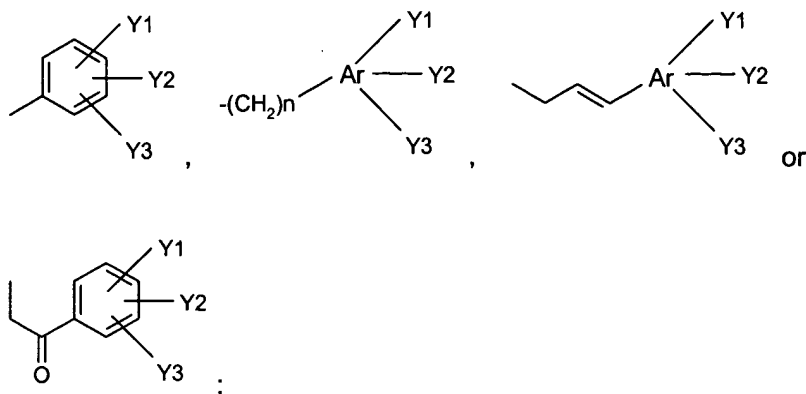
I



II

wherein:

- A<sub>1</sub> is O or S ;
- X<sub>1</sub> and X<sub>2</sub> are independently
- hydrogen, hydroxy, halogen, amino, nitro, mercapto, cyano or carboxyl;
- (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -S(O)<sub>m</sub>R<sub>8</sub>, said alkyl and alkoxy being optionally substituted on carbon with one to three halogen;
- -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;
- -NH-R<sub>1</sub>; or
- -NR<sub>2</sub>R<sub>3</sub>;
- R is
  - (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, aryl(C<sub>2</sub>-C<sub>6</sub>)alkynyl, or 2-, 3- or 4-pyridyl(C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, hydroxy, halogen or amino; or

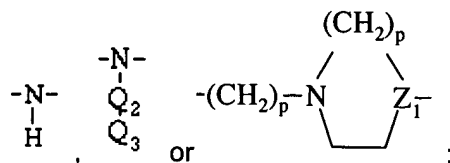


- A<sub>2</sub>
- R<sub>4</sub> and R<sub>5</sub> are taken separately, are identical, and are (C<sub>1</sub>-C<sub>6</sub>)alkyl; or
  - R<sub>4</sub> and R<sub>5</sub> are taken separately, are different, and are aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl or (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl; or
  - R<sub>4</sub> and R<sub>5</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered saturated or partially unsaturated ring, said ring optionally containing one to three hetero atoms selected from O, S and N, said ring being optionally substituted with (C<sub>1</sub>-C<sub>6</sub>)alkyl, hydroxy or (C<sub>1</sub>-C<sub>6</sub>)alkoxy, said ring being optionally bridged with a (C<sub>1</sub>-C<sub>6</sub>)alkyl which may be gem-di(C<sub>1</sub>-C<sub>6</sub>)alkylated or substituted with one to three hydroxy, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, phenyl(C<sub>1</sub>-C<sub>6</sub>)alkyl or CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>, said ring being optionally fused via two adjacent atoms shared with another ring selected from phenyl and heteroaryl, said heteroaryl ring containing four to eight carbon atoms which may be optionally replaced with one to three hetero atoms selected from O, S and N;

m is 0, 1 or 2;

R<sub>8</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, said alkyl being optionally substituted with one to three halogen;

-Q<sub>1</sub>- is a bond, -O-,

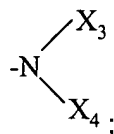


-Q<sub>2</sub>- is:

a) -(CH<sub>2</sub>)<sub>q</sub>-;

b)  $-(\text{CH}_2\text{-CH}_2\text{-O})_n$ ;

$-\text{Q}_3$  is:  $-\text{H}$ ,  $-\text{OH}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$ ,  $-\text{O-CO-X}_3$ ,  $-\text{NHX}_3$ , or



$\text{R}_1$  is  $(\text{C}_1\text{-C}_6)\text{alkyl}$  optionally substituted with one to three halogen, hydroxy, cyano,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$  or  $-\text{CO-Q}_1\text{-Q}_2\text{-Q}_3$ ;

$\text{R}_2$  and  $\text{R}_3$  are taken separately and are independently  $(\text{C}_1\text{-C}_6)\text{alkyl}$  optionally substituted with one to three hydroxy, halogen, cyano,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$  or  $-\text{CO-Q}_1\text{-Q}_2\text{-Q}_3$ ;  
or

$\text{R}_2$  and  $\text{R}_3$  are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7- membered ring, said ring containing one to three O, S or N, said ring being optionally bridged with a  $(\text{C}_1\text{-C}_6)\text{alkyl}$  which may be gem-dialkylated or substituted with one to three hydroxy, oxo,  $(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$  or  $-\text{CO-Q}_1\text{-Q}_2\text{-Q}_3$ ;

$n$  is 1, 2, 3, 4 or 5;

$\text{Ar}$  is a 5- or 6-membered aromatic ring containing 0 to 3 hetero atoms selected from O, S and N;

$\text{Y}_1$ ,  $\text{Y}_2$  and  $\text{Y}_3$  are independently

- hydrogen, hydroxy, mercapto, amino, nitro, halogen,  $-\text{NHR}_1$ ,  $-\text{NR}_2\text{R}_3$ ,
- $-(\text{CH}_2)_5\text{CN}$  or  $-(\text{CH}_2)_5\text{CO-Q}_1\text{-Q}_2\text{-Q}_3$ ;
- $(\text{C}_1\text{-C}_6)\text{alkyl}$ ,  $(\text{C}_1\text{-C}_6)\text{alkoxy}$  or  $-\text{S(O)}_m\text{R}_8$ ;

$s$  is 0, 1, 2, 3, 4, 5 or 6 ;

$p$  is 0, 1, 2 or 3;

$\text{Z}_1$  is CH, N, O or S;

$q$  is 0, 1, 2, 3, or 4;

r is 2, 3, or 4; and

X<sub>3</sub> and X<sub>4</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl; or

X<sub>3</sub> and X<sub>4</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered ring, said ring containing one to three additional hetero atoms selected from O, S and N;

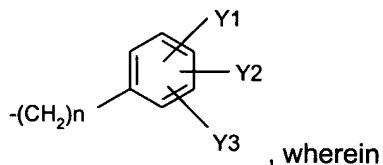
a racemic or isomeric form thereof or a pharmaceutically acceptable salt of said compound, racemic or isomeric form.

36. (Re-presented - formerly dependent claim # 2): A compound of claim 35 wherein A<sub>1</sub> is O; X<sub>1</sub> is H; X<sub>2</sub> is halogen, amino, (C<sub>1</sub>-C<sub>6</sub>)alkyl, hydroxy or -NHR<sub>1</sub>; and

R is

-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, aryl(C<sub>2</sub>-C<sub>6</sub>)alkynyl or 2-, 3- or 4-pyridyl(C<sub>1</sub>-C<sub>6</sub>)alkyl group optionally substituted on said pyridyl ring with (C<sub>1</sub>-C<sub>6</sub>)alkyl, halogen or hydroxy; or

R is



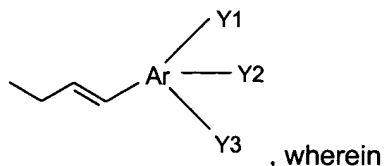
n is 1, 2 or 3;

Y<sub>1</sub>, Y<sub>2</sub> and Y<sub>3</sub> are each independently H or (C<sub>1</sub>-C<sub>6</sub>)alkoxy; or

Y<sub>1</sub> and Y<sub>2</sub> are each H ; and Y<sub>3</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkoxy, amino, -NHR<sub>1</sub>, -NR<sub>2</sub>R<sub>3</sub>, nitro, hydroxy, -(CH<sub>2</sub>)<sub>8</sub>CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>, -(CH<sub>2</sub>)<sub>8</sub>-CN, or (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three halogen; or

Y<sub>1</sub> is H ; and Y<sub>2</sub> and Y<sub>3</sub> are each independently hydroxy, halogen or (C<sub>1</sub>-C<sub>6</sub>)alkoxy; or

R is

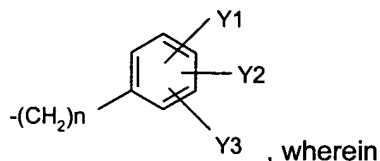


Y<sub>1</sub>, Y<sub>2</sub> and Y<sub>3</sub> are each H ; or

Y1 and Y2 are each H and Y3 is (C<sub>1</sub>-C<sub>6</sub>)alkoxy or halogen.

37. (Re-presented - formerly dependent claim # 3): A compound of claim 36 wherein X<sub>1</sub> is H; X<sub>2</sub> is halogen, amino, (C<sub>1</sub>-C<sub>6</sub>)alkyl, hydroxy or -NHR<sub>1</sub>;

R is



n is 1, 2 or 3;

Y1, Y2 and Y3 are each H or (C<sub>1</sub>-C<sub>6</sub>)alkoxy; or

Y1 and Y2 are each H; and Y3 is

(C<sub>1</sub>-C<sub>6</sub>)alkoxy;

amino;

-NHR<sub>1</sub>;

-NR<sub>2</sub>R<sub>3</sub>;

nitro;

hydroxy;

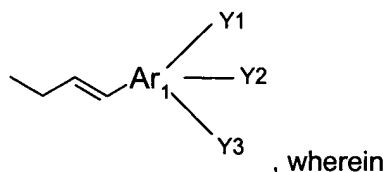
(C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three halogen;

-(CH<sub>2</sub>)<sub>s</sub>CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub> in which s is 0 or 1; Q<sub>1</sub> is O, -NH- or a bond; Q<sub>2</sub> is - (CH<sub>2</sub>)<sub>q</sub>-, wherein q is 0, 1, 2, 3 or 4; and Q<sub>3</sub> is H, OH or -NX<sub>3</sub>X<sub>4</sub>; or

-(CH<sub>2</sub>)<sub>s</sub>-CN wherein s is 0 or 1; or

Y1 is H; and Y2 and Y3 are each independently hydroxy, halogen or (C<sub>1</sub>-C<sub>6</sub>)alkoxy; or

R is



Ar<sub>1</sub> is a 6-membered aromatic ring optionally containing N in the 2-, 3- or 4-position;

Y1, Y2 and Y3 are each H; or,

when Ar<sub>1</sub> does not contain N, then Y1 and Y2 are each H and Y3 is (C<sub>1</sub>-C<sub>6</sub>)alkoxy or halogen.

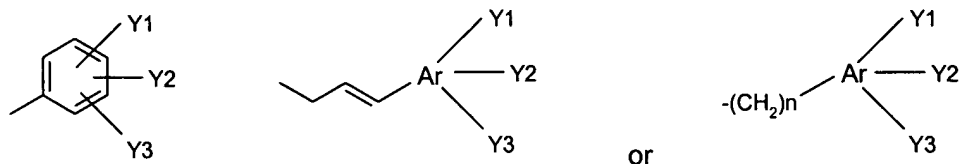
38. (Re-presented - formerly dependent claim # 4): A compound of claim 37 wherein said (C<sub>1</sub>-C<sub>6</sub>)alkyl is 2,2,2-trifluoroethyl, 3,3,3-trifluoropropyl, 1-

trifluoromethylethyl, 4,4,4-trifluoro-n-butyl, 2-trifluoromethylpropyl, 1-trifluoromethylpropyl, 1-methyl-1-trifluoromethylethyl, 3,3,3-trifluoro-1-methylpropyl, 2,2,2-trifluoroethoxy, 3,3,3-trifluoropropoxy, 1-trifluoromethylethoxy, 4,4,4-trifluoro-n-butoxy, 2-trifluoromethylpropoxy, 1-trifluoromethylpropoxy, 1-methyl-1-trifluoromethylethoxy, 3,3,3-trifluoro-1-methylpropoxy, 2,2,2-trifluoroethylthio, 3,3,3-trifluoropropylthio, 1-trifluoromethylethylthio, 4,4,4-trifluoro-n-butylthio, 2-trifluoromethylpropylthio, 1-trifluoromethylpropylthio, 1-methyl-1-trifluoromethylethylthio, or 3,3,3-trifluoro-1-methylpropylthio.

39. (Re-presented - formerly dependent claim # 5): A compound of claim 35 wherein

$X_1$  and  $X_2$  are independently H, hydroxy, halogen, amino, nitro, mercapto, cyano, carboxyl,  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy or  $-S(O)_mR_8$ ;

R is



$Y_1$ ,  $Y_2$  and  $Y_3$  are independently

H, hydroxy, mercapto, amino,  $-NHR_1$ ,  $-NR_2R_3$ , nitro, halogen,  $-(CH_2)_sCO-Q_1-Q_2-Q_3$ ,  $(CH_2)_s-CN$ ,  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, or  $-S(O)_mR_8$ ;

$R_4$  and  $R_5$  are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered saturated or partially saturated ring containing one to three O, S or N, said ring being optionally bridged with  $(C_1-C_6)$ alkyl which may be gem-dialkylated or substituted with one to three hydroxy, oxo,  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, phenyl $(C_1-C_6)$ alkyl or  $CO-Q_1-Q_2-Q_3$ .

40. (Re-presented - formerly dependent claim # 6): A compound of claim 39 which is

1-dimethylamino-7-methyl-4-(3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
4-(3,4-dimethoxybenzyl)-7-methyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

4-(1-dimethylamino-7-methyl-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;

7-bromo-1-dimethylamino-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-methyl-4-(3-phenylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 1-azepan-1-yl-7-methyl-4-pyrid-3-ylmethyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-methyl-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 1-dimethylamino-methyl-((E)-3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile; or  
 1-azepan-1-yl-7-bromo-4-(3,4-dimethoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one.

A2

41. (Re-presented - formerly dependent claim # 7): A compound of claim 35 which is

1-(azepan-1-yl)-7-chloro-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(3-phenylallyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-1-dimethylamino-4-((E)-3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-4-pyrid-3-ylmethyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-3-pyrid-3-ylmethyl-1-pyrrolidin-1-yl-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-allyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(4-methylbenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(2-chlorobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(3-chlorobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(4-chlorobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(4-bromobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(4-fluorobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(4-(trifluoromethyl)benzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(4-cyanobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(2-methoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(3-methoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-(4-methoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-(3,4-dichlorobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-(3,4-dimethoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-(2-pyridylmethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-(3-pyridylmethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-(4-pyridylmethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-(2-phenylethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-[2-(4-methoxyphenyl)ethyl]-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-(3-phenylpropyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-azepan-1-yl-7-chloro-4-(2-oxo-2-phenylethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-[2-(4-methoxyphenyl)-2-oxoethyl]-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-4-[2-(4-chlorophenyl)-2-oxoethyl]-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

5-[(1-(azepan-1-yl)-7-chloro-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-yl)acetyl]-2-methoxybenzoic acid methyl ester;

7-chloro-4-pyrid-3-ylmethyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-bromo-4-(4-chlorophenylmethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-azepan-1-yl-7-bromo-4-(4-fluorobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

4-(1-azepan-1-yl-7-bromo-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;

1-azepan-1-yl-7-bromo-4-(3,4-dimethoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-bromo-4-(3-pyridinylmethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-bromo-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-azepan-1-yl-7-bromo-4-[3-(4-chlorophenyl)-allyl]-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-azepan-1-yl-7-bromo-4-[3-(4-methoxyphenyl)-allyl]-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

A<sup>2</sup>



1-azepan-1-yl-7-bromo-4-((E)-3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-azepan-1-yl-7-bromo-4-(3-pyrid-4-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(4-methylbenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(4-chlorobenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(4-fluorobenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

3-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;

4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;

4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzoic acid methyl ester;

7-bromo-4-(4-nitrobenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(4-methoxybenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

acetic acid 4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl ester;

7-bromo-4-(4-hydroxybenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(3,4-dimethoxybenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

4-benzo[1,3]dioxol-5-ylmethyl-7-bromo-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(3,5-dimethoxybenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-1-pyrrolidin-1-yl-4-(3,4,5-trimethoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid;

1-(pyrrolidin-1-yl)-7-bromo-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(3-phenylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-[(E)-3-(4-chlorophenyl)-allyl]-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-[3-(4-methoxyphenyl)-allyl]-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(3-pyrid-3-ylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

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7-bromo-4-((E)-3-pyrid-4-ylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(1H-imidazol-4-ylmethyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(3,5-dimethyl-isoxazol-4-ylmethyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-cyclopentylmethyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-butyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-1-pyrrolidin-1-yl-4-(2,2,2-trifluoroethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(2-hydroxyethyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(2-diethylaminoethyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-prop-2-ynyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(2-phenoxyethyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(2-phenylsulphenylethyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-yl)phenylacetic acid methyl ester;

4-(7-bromo-5-oxo-1-piperid-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;

7-bromo-4-(3,4-dimethoxybenzyl)-1-piperid-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(piperid-1-yl)-7-bromo-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(3-pyrid-3-ylallyl)-1-thiomorpholin-4-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-1-dimethylamino-4-(4-methylbenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;

7-bromo-1-dimethylamino-4-(4-hydroxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzoic acid methyl ester;

[4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid;

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[4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetonitrile;  
 7-bromo-1-dimethylamino-4-(pyrid-3-ylmethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-1-dimethylamino-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-1-dimethylamino-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-1-dimethylamino-4-(3-pyrid-4-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-1-dimethylamino-4-prop-2-ynyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-1-dimethylamino-4-(3-phenyl-prop-2-ynyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-yl)phenylacetic acid methyl ester;  
 1-azepan-1-yl-7-methyl-4-pyrid-3-ylmethyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-7-methyl-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-methyl-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 4-(3,4-dimethoxybenzyl)-7-methyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-methyl-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzoic acid methyl ester;  
 [4-(7-methyl-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid;  
 7-methyl-4-pyrid-3-ylmethyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-methyl-4-(3-phenylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 [4-(7-methyl-5-oxo-1-thiomorpholin-4-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid;  
 7-methyl-4-(3-pyrid-3-ylallyl)-1-thiomorpholin-4-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(1-dimethylamino-7-methyl-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 [4-(dimethylamino-methyl-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid;  
 1-dimethylamino-7-methyl-4-((E)-3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-dimethylamino-7-methyl-4-(3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-dimethylamino-7-methyl-4-(3-pyrid-4-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-8-methyl-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 (4-cyanobenzyl)-dimethylamino-oxo-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinazoline-7-  
 carbonitrile;  
 7-hydroxy-4-((E)-3-phenylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-  
 one;  
 1-(azepan-1-yl)-3-(3-phenylallyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 3-allyl-1-azepan-1-yl-7-chloro-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-benzyl-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-7-chloro-3-(4-methylbenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(2-chlorobenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(3-chlorobenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(4-chlorobenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(4-bromobenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(4-fluorobenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(4-(trifluoromethyl)benzyl)-3H-[1,2,4]triazolo[4,3-  
 a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(4-cyanobenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(2-methoxybenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-  
 one;  
 1-(azepan-1-yl)-7-chloro-3-(3-methoxybenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-  
 one;  
 1-(azepan-1-yl)-7-chloro-3-(4-methoxybenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-  
 one;  
 1-(azepan-1-yl)-7-chloro-3-(3,4-dichlorobenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-  
 one;  
 1-(azepan-1-yl)-7-chloro-3-(3,4-dimethoxybenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-  
 one;  
 1-(azepan-1-yl)-7-chloro-3-(2-pyridylmethyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(3-pyridylmethyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(2-phenylethyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-[2-(4-methoxyphenyl)ethyl]-3H-[1,2,4]triazolo[4,3-  
 a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-3-(3-phenylpropyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-7-chloro-3-(2-oxo-2-phenylethyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-  
 one;

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1-(azepan-1-yl)-7-chloro-3-[2-(4-methoxyphenyl)-2-oxoethyl]-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-chloro-3-[2-(4-chlorophenyl)-2-oxoethyl]-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

5-[(1-(azepan-1-yl)-7-chloro-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-3-yl)acetyl]-2-methoxybenzoic acid methyl ester;

1-(azepan-1-yl)-7-bromo-3-(4-chlorobenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(azepan-1-yl)-7-bromo-3-(4-fluorobenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

4-(1-(azepan-1-yl)-7-bromo-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-3-ylmethyl)benzonitrile;

1-(azepan-1-yl)-7-bromo-3-(3,4-dimethoxybenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

[4-(7-bromo-5-oxo-1-perhydro-azepin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-3-ylmethyl)phenyl]acetic acid;

1-(azepan-1-yl)-7-bromo-3-(pyrid-3-ylmethyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-azepan-1-yl-7-bromo-3-((E)-3-phenylallyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-3-((E)-3-phenylallyl)-1-piperid-1-yl-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-3-(4-chlorobenzyl)-1-(pyrrolidin-1-yl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-3-(4-fluorobenzyl)-1-(pyrrolidin-1-yl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

4-(7-bromo-5-oxo-1-(pyrrolidin-1-yl)-5H-[1,2,4]triazolo[4,3-a]quinazolin-3-ylmethyl)benzonitrile;

4-(7-bromo-5-oxo-1-(pyrrolidin-1-yl)-5H-[1,2,4]triazolo[4,3-a]quinazolin-3-ylmethyl)benzoic acid methyl ester;

7-bromo-3-(4-methoxybenzyl)-1-pyrrolidin-1-yl-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

acetic acid 4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-3-ylmethyl)phenyl ester;

7-bromo-1-dimethylamino-3-(4-hydroxybenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

3-(benzo[1,3]dioxol-5-ylmethyl)-7-bromo-1-(pyrrolidin-1-yl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-3-(3,5-dimethoxybenzyl)-1-(pyrrolidin-1-yl)-3H-[1,2,4]triazolo- [4,3-a]quinazolin-5-one;

7-bromo-1-(pyrrolidin-1-yl)-3-(3,4,5-trimethoxybenzyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

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7-bromo-3-(1H-imidazol-4-ylmethyl)-1-pyrrolidin-1-yl-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-3-(n-butyl)-1-(pyrrolidin-1-yl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 (7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-3-yl)phenylacetic acid methyl ester;  
 7-bromo-1-dimethylamino-3-(3-phenylallyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-3-yl)phenylacetic acid methyl ester;  
 1-(azepan-1-yl)-7-methyl-3-(3-phenylallyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-methyl-3-(3-phenylallyl)-1-(pyrrolidin-1-yl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-3,8-dimethyl-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-8-methyl-3-((E)-3-phenylallyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-hydroxy-3-(3-phenylallyl)-1-(pyrrolidin-1-yl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1,8-bis(azepan-1-yl)-3-(3-phenylallyl)-3H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-4-benzyl-7-bromo-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-(pyrrolidin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-(butyl-methyl-amino)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-1-(pyrrolidin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-chloro-1-dibutylamino-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-chloro-4-methyl-1-(piperid-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-chloro-4-methyl-1-(4-methyl-piperazin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-chloro-4-methyl-1-(1,8,8-trimethyl-3-azabicyclo[3.2.1]oct-3-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-phenyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-4-benzyl-7-chloro-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-chloro-1-(pyrrolidin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-chloro-1-(piperid-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-8-chloro-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-4-benzyl-8-chloro-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-bromo-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-(piperid-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-dimethylamino-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-morpholin-4-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-thiomorpholin-4-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-(4-methylpiperazin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

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4-benzyl-7-bromo-1-(4-phenylpiperazin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-1-(4-benzylpiperazin-1-yl)-7-bromo-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-(3,6-dihydro-2H-pyrid-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-(2,5-dihydropyrrol-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-(3-hydroxypyrrolidin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-methylamino-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-iodo-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-4-benzyl-7-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-methyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-1-dimethylamino-7-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-methyl-1-thiomorpholin-4-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-4-benzyl-8-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-4-benzyl-7-methoxy-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-methoxy-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-5-oxo-1-pyrrolidin-1-yl-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinazoline-7-carbonitrile;  
 1-azepan-1-yl-4-benzyl-7-nitro-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-4-benzyl-7-chloro-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-4-benzyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-6-chloro-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-ethyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-chloro-4-methyl-1-(pyrrolidin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-chloro-4-methyl-1-(morpholin-4-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azocan-1-yl)-7-chloro-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-chloro-1-(3,4-dihydro-2H-quinolin-1-yl)-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-chloro-1-(3,4-dihydro-1H-isoquinolin-2-yl)-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(4-benzylpiperid-1-yl)-7-chloro-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-chloro-4-methyl-1-(1,3,3-trimethyl-6-azabicyclo[3,2,1]oct-6-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-fluoro-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-iodo-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

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1-(azepan-1-yl)-7-methoxy-4-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-(ethylmethylamino)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-1-diethylamino-7-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-pyrrol-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(4-aminobenzyl)-7-bromo-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-hydroxy-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-hydroxy-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 N-(4-benzyl-5-oxo-1-pyrrolidin-1-yl-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinazolin-7-yl)acetamide;  
 N-[5-oxo-4-(3-phenylallyl)-1-pyrrolidin-1-yl-4,5-dihydro-[1,2,4]triazolo[4,3-a]quinazolin-7-yl]acetamide;  
 7-amino-4-((E)-3-phenylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-amino-1-azepan-1-yl-4-benzyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-amino-4-benzyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-amino-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 7-amino-4-((E)-3-pyrid-3-ylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(amino-dimethylamino-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 7-amino-1-dimethylamino-4-((E)-3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-methylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-methylamino-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 4-benzyl-8-methylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-ethylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-isopropylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 N-(4-benzyl-5-oxo-1-pyrrolidin-1-yl-4,5-dihydro[1,2,4]triazolo[4,3-a]quinazolin-7-yl)-methanesulphonamide;  
 4-benzyl-7-dimethylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-1-dimethylamino-5-oxo-4,5-dihydro[1,2,4]triazolo[4,3-a]quinazoline-7-carbonitrile;  
 4-benzyl-5-oxo-1-pyrrolidin-1-yl-4,5-dihydro[1,2,4]triazolo[4,3-a]quinazoline-7-carboxylic acid;



[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid methyl ester;  
 2-[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]-N-methylacetamide;  
 2-[4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetamide;  
 2-[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]-N,N-dimethylacetamide;  
 2-[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]-N-hydroxyacetamide;  
 4-(1-dimethylamino-7-methyl-5-thioxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 4-(7-bromo-1-dimethylamino-5-thioxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 1-dimethylamino-7-methyl-4-(3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-thione; or  
 4-benzyl-7-(N,N-dimethylsulphonylamino)-1-(pyrrolidin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one.

42. (Re-presented - formerly dependent claim # 8): A compound of claim 35 which is  
 1-(azepan-1-yl)-7-chloro-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-1-dimethylamino-4-((E)-3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(4-chlorobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(4-fluorobenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(3,4-dimethoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(3-pyridylmethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-bromo-4-(4-chlorophenylmethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(1-azepan-1-yl-7-bromo-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 1-azepan-1-yl-7-bromo-4-(3,4-dimethoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-bromo-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-azepan-1-yl-7-bromo-4-((E)-3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-azepan-1-yl-7-bromo-4-(3-pyrid-4-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(4-methylbenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(4-chlorobenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(4-fluorobenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;

4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)-benzoic acid methyl ester;

7-bromo-4-(4-nitrobenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(4-methoxybenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

acetic acid 4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl ester;

7-bromo-4-(4-hydroxybenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(3,4-dimethoxybenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(pyrrolidin-1-yl)-7-bromo-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-[(E)-3-(4-chlorophenyl)allyl]-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-[3-(4-methoxyphenyl)allyl]-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(3-pyrid-3-ylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-((E)-3-pyrid-4-ylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-4-(3,4-dimethoxybenzyl)-1-piperid-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

1-(piperid-1-yl)-7-bromo-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

7-bromo-1-dimethylamino-4-(4-methylbenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;

7-bromo-1-dimethylamino-4-(4-hydroxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

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4-(bromo-dimethylamino-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzoic acid methyl ester;  
 [4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetonitrile;  
 7-bromo-1-dimethylamino-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-1-dimethylamino-4-(3-phenyl-prop-2-ynyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-7-methyl-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(3,4-dimethoxybenzyl)-7-methyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 [4-(7-methyl-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid;  
 7-methyl-4-(3-phenylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 [4-(dimethylamino-methyl-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid;  
 1-dimethylamino-7-methyl-4-((E)-3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-dimethylamino-7-methyl-4-(3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 [4-(7-bromo-5-oxo-1-perhydroazepin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-3-ylmethyl)phenyl]acetic acid;  
 4-benzyl-7-bromo-1-(pyrrolidin-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-bromo-1-(2,5-dihydropyrrol-1-yl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-iodo-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-4-benzyl-7-methyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(4-aminobenzyl)-7-bromo-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-amino-4-((E)-3-phenylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-amino-1-azepan-1-yl-4-benzyl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-amino-4-((E)-3-pyrid-3-ylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-amino-1-dimethylamino-4-((E)-3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-methylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-methylamino-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 4-benzyl-8-methylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-ethylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;

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4-benzyl-7-isopropylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 [4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid methyl ester;  
 2-[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]-N-methylacetamide;  
 2-[4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetamide;  
 2-[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]-N,N-dimethylacetamide;  
 2-[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]-N-hydroxyacetamide; or  
 1-dimethylamino-7-methyl-4-(3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazoline-5-thione.

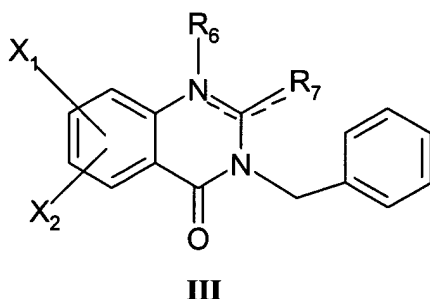
43. (Re-presented - formerly dependent claim # 9): A compound of claim 35 which is

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bromo-dimethylamino-((E)-3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(3,4-dimethoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-chloro-4-(3-pyridylmethyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(1-azepan-1-yl-7-bromo-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 1-azepan-1-yl-7-bromo-4-(3,4-dimethoxybenzyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(azepan-1-yl)-7-bromo-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-7-bromo-4-((E)-3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-7-bromo-4-(3-pyrid-4-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-4-(4-methylbenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-4-(4-chlorobenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-4-(3,4-dimethoxybenzyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(pyrrolidin-1-yl)-7-bromo-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-4-(3-pyrid-3-ylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-(piperid-1-yl)-7-bromo-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-bromo-1-dimethylamino-5-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;

4-(bromo-dimethylamino-oxo-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)-benzoic acid methyl ester;  
 7-bromo-1-dimethylamino-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-bromo-1-dimethylamino-4-(3-phenylprop-2-ynyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 1-azepan-1-yl-7-methyl-4-(3-phenylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(3,4-dimethoxybenzyl)-7-methyl-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-methyl-4-(3-phenylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-amino-4-((E)-3-phenylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 7-amino-4-((E)-3-pyrid-3-ylallyl)-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-benzyl-7-methylamino-1-pyrrolidin-1-yl-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one;  
 4-(7-methylamino-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)benzonitrile;  
 [4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]acetic acid methyl ester;  
 2-[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]-N-methylacetamide;  
 2-[4-(7-bromo-5-oxo-1-pyrrolidin-1-yl-5H-[1,2,4]triazolo[4,3-a]quinazolin-4-ylmethyl)phenyl]-N,N-dimethylacetamide; or  
 1-dimethylamino-7-methyl-4-(3-pyrid-3-ylallyl)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-thione.

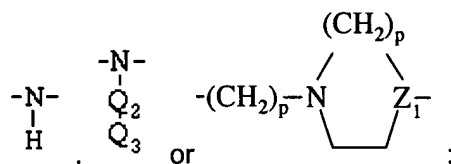
44. (Re-presented - formerly dependent claim # 10): A compound of Formula III,



wherein

- X<sub>1</sub> and X<sub>2</sub> are independently
- hydrogen, hydroxy, halogen, amino, nitro, mercapto, cyano or carboxyl;

- (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -S(O)<sub>m</sub>R<sub>8</sub>, said alkyl and alkoxy being optionally substituted on carbon with one to three halogen;
  - -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;
  - -NH-R<sub>1</sub>; or
  - -NR<sub>2</sub>R<sub>3</sub>;
  - the dashed lines represent optional double bonds ;
  - R<sub>6</sub> and R<sub>7</sub> are taken together with the atoms to which they are attached to form a 5- or 6-membered ring, said ring optionally containing one or two additional N or one or two O or S, said ring being optionally substituted with one, two or three (C<sub>1</sub>-C<sub>6</sub>)thioalkyl, mercapto or halogen;
- m is 0, 1 or 2;
- R<sub>8</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, said alkyl being optionally substituted with one to three halogen;
- Q<sub>1</sub>- is a bond, -O-,



-Q<sub>2</sub>- is:

- (CH<sub>2</sub>)<sub>q</sub>;
- (CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>r</sub>;

-Q<sub>3</sub> is: -H, -OH, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -O-CO-X<sub>3</sub>, -NHX<sub>3</sub>, or -NX<sub>3</sub>X<sub>4</sub>;

p is 0, 1, 2 or 3;

Z<sub>1</sub> is CH, N, O or S;

q is 0, 1, 2, 3, or 4;

r is 2, 3, or 4;

X<sub>3</sub> and X<sub>4</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl; or

X<sub>3</sub> and X<sub>4</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered ring, said ring containing one to three additional hetero atoms selected from O, S and N;

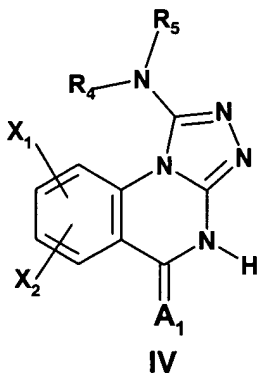
R<sub>1</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three halogen, hydroxy, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>; and

R<sub>2</sub> and R<sub>3</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three hydroxy, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

or

R<sub>2</sub> and R<sub>3</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7- membered ring, said ring containing one to three O, S or N, said ring being optionally bridged with a (C<sub>1</sub>-C<sub>6</sub>)alkyl which may be gem-dialkylated or substituted with one to three hydroxy, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>.

45. (Re-presented - formerly dependent claim # 11): A compound of Formula IV,

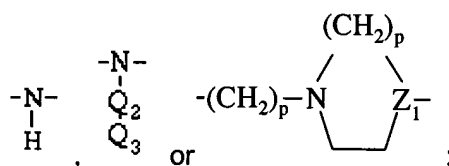


- A<sup>2</sup>
- wherein
  - A<sub>1</sub> is O or S ;
  - X<sub>1</sub> and X<sub>2</sub> are independently
  - hydrogen, hydroxy, halogen, amino, nitro, mercapto, cyano or carboxyl;
  - (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -S(O)<sub>m</sub>R<sub>8</sub>, said alkyl and alkoxy being optionally substituted on carbon with one to three halogen;
  - -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;
  - -NH-R<sub>1</sub>; or
  - -NR<sub>2</sub>R<sub>3</sub>;
  - R<sub>4</sub> and R<sub>5</sub> are taken separately, are identical, and are (C<sub>1</sub>-C<sub>6</sub>)alkyl; or
  - R<sub>4</sub> and R<sub>5</sub> are taken separately, are different, and are aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl or (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl; or
  - R<sub>4</sub> and R<sub>5</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered saturated or partially unsaturated ring, said ring optionally containing one to three hetero atoms selected from O, S and N, said ring being optionally substituted with (C<sub>1</sub>-C<sub>6</sub>)alkyl, hydroxy or (C<sub>1</sub>-C<sub>6</sub>)alkoxy, said ring being optionally bridged with a (C<sub>1</sub>-C<sub>6</sub>)alkyl which may be gem-di(C<sub>1</sub>-C<sub>6</sub>)alkylated or substituted with one to three hydroxy, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, phenyl(C<sub>1</sub>-C<sub>6</sub>)alkyl or CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>, said ring being optionally fused via two adjacent atoms shared with another ring selected from phenyl and heteroaryl, said heteroaryl ring containing four to eight carbon atoms which may be optionally replaced with one to three hetero atoms selected from O, S and N;

m is 0, 1 or 2;

R<sub>8</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, said alkyl being optionally substituted with one to three halogen;

-Q<sub>1</sub>- is a bond, -O-,

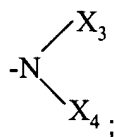


-Q<sub>2</sub>- is:

a) -(CH<sub>2</sub>)<sub>q</sub>;

b) -(CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>r</sub>;

-Q<sub>3</sub> is: -H, -OH, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -O-CO-X<sub>3</sub>, -NHX<sub>3</sub>, or



R<sub>1</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three halogen, hydroxy, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

R<sub>2</sub> and R<sub>3</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three hydroxy, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>; or

R<sub>2</sub> and R<sub>3</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7- membered ring, said ring containing one to three O, S or N, said ring being optionally bridged with a (C<sub>1</sub>-C<sub>6</sub>)alkyl which may be gem-dialkylated or substituted with one to three hydroxy, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

p is 0, 1, 2 or 3;

Z<sub>1</sub> is CH, N, O or S;

q is 0, 1, 2, 3, or 4;

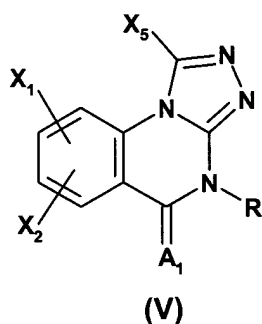
r is 2, 3, or 4; and

X<sub>3</sub> and X<sub>4</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl; or

X<sub>3</sub> and X<sub>4</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered ring, said ring containing one to three additional hetero atoms selected from O, S and N.



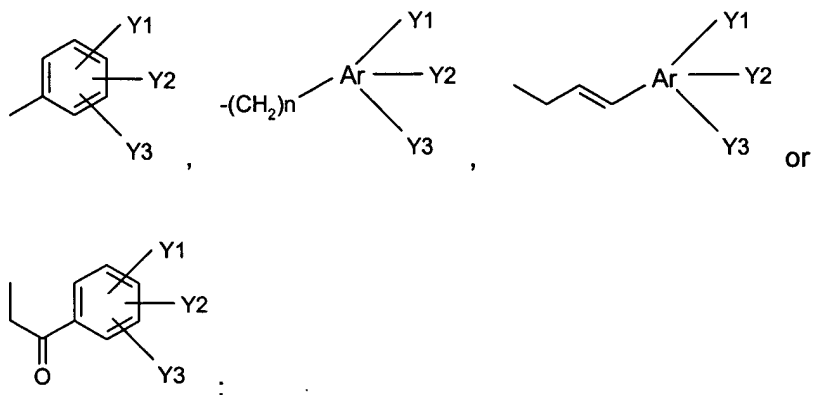
46. (Re-presented - formerly dependent claim # 12): A compound of Formula V,



wherein

- $A_1$  is O or S ;
- $X_1$  and  $X_2$  are independently
  - hydrogen, hydroxy, halogen, amino, nitro, mercapto, cyano or carboxyl;
  - $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy or  $-S(O)_mR_8$ , said alkyl and alkoxy being optionally substituted on carbon with one to three halogen;
- $-CO-Q_1-Q_2-Q_3$ ;
- $-NH-R_1$ ; or
- $-NR_2R_3$ ;
- R is
  - $(C_1-C_6)$ alkyl,  $(C_2-C_6)$ alkenyl,  $(C_2-C_6)$ alkynyl, aryl $(C_2-C_6)$ alkynyl, or 2-, 3- or 4-pyridyl $(C_1-C_6)$ alkyl optionally substituted with  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, hydroxy, halogen or amino; or

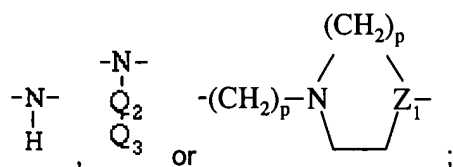
A<sup>2</sup>



m is 0, 1 or 2;

$R_8$  is  $(C_1-C_6)$ alkyl, said alkyl being optionally substituted with one to three halogen;

$-Q_1-$  is a bond,  $-O-$ ,

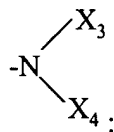


-Q<sub>2</sub>- is:

a) -(CH<sub>2</sub>)<sub>q</sub>;

b) -(CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>r</sub>;

-Q<sub>3</sub> is: -H, -OH, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -O-CO-X<sub>3</sub>, -NHX<sub>3</sub>, or



R<sub>1</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three halogen, hydroxy, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

R<sub>2</sub> and R<sub>3</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three hydroxy, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;  
or

R<sub>2</sub> and R<sub>3</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7- membered ring, said ring containing one to three O, S or N, said ring being optionally bridged with a (C<sub>1</sub>-C<sub>6</sub>)alkyl which may be gem-dialkylated or substituted with one to three hydroxy, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

n is 1, 2, 3, 4 or 5;

Ar is a 5- or 6-membered aromatic ring containing 0 to 3 hetero atoms selected from O, S and N;

Y<sub>1</sub>, Y<sub>2</sub> and Y<sub>3</sub> are independently

- hydrogen, hydroxy, mercapto, amino, nitro, halogen, -NHR<sub>1</sub>, -NR<sub>2</sub>R<sub>3</sub>,

-(CH<sub>2</sub>)<sub>5</sub>CN or -(CH<sub>2</sub>)<sub>5</sub> CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -S(O)<sub>m</sub>R<sub>8</sub>;

s is 0, 1, 2, 3, 4, 5 or 6 ;

p is 0, 1, 2 or 3;

Z<sub>1</sub> is CH, N, O or S;

q is 0, 1, 2, 3, or 4;

r is 2, 3, or 4; and

X<sub>3</sub> and X<sub>4</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl; or

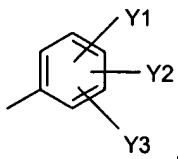
A<sup>2</sup>

$X_3$  and  $X_4$  are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered ring, said ring containing one to three additional hetero atoms selected from O, S and N;

$X_5$  is halogen,  $-\text{OCOX}_7$ ,  $-\text{OSO}_2\text{X}_7$  or  $-\text{SO}_2\text{X}_7$ ; and

$X_7$  is  $(\text{C}_1\text{-C}_6)$ alkyl or aryl;

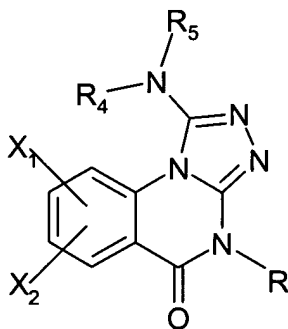
provided that when  $X_1$  and  $X_2$  are each H,  $A_1$  is O, R is



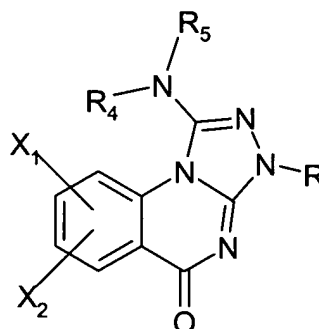
Y1 and Y2 are each H, and Y3 is halo, then  $X_5$  is not  $-\text{SO}_2\text{X}_7$ .

A2

47. (Re-presented - formerly dependent claim # 13): A process for preparing a compound of Formula I or Formula II,



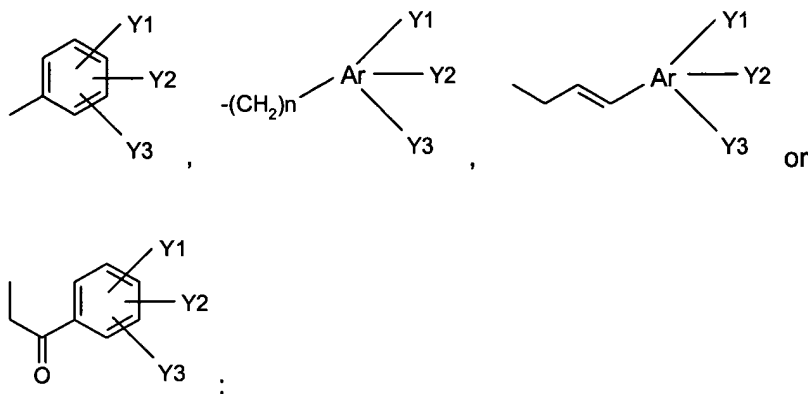
I



II

wherein

- $A_1$  is O or S ;
- $X_1$  and  $X_2$  are independently
- hydrogen, hydroxy, halogen, amino, nitro, mercapto, cyano or carboxyl;
- $(\text{C}_1\text{-C}_6)$ alkyl,  $(\text{C}_1\text{-C}_6)$ alkoxy or  $-\text{S}(\text{O})_m\text{R}_8$ , said alkyl and alkoxy being optionally substituted on carbon with one to three halogen;
- $-\text{CO-Q}_1\text{-Q}_2\text{-Q}_3$ ;
- $-\text{NH-R}_1$ ; or
- $-\text{NR}_2\text{R}_3$ ;
- R is
  - $(\text{C}_1\text{-C}_6)$ alkyl,  $(\text{C}_2\text{-C}_6)$ alkenyl,  $(\text{C}_2\text{-C}_6)$ alkynyl, aryl $(\text{C}_2\text{-C}_6)$ alkynyl, or 2-, 3- or 4-pyridyl $(\text{C}_1\text{-C}_6)$ alkyl optionally substituted with  $(\text{C}_1\text{-C}_6)$ alkyl,  $(\text{C}_1\text{-C}_6)$ alkoxy, hydroxy, halogen or amino; or



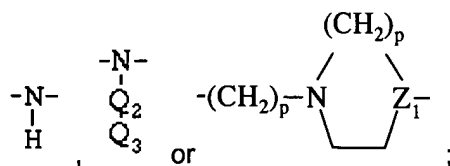
- $R_4$  and  $R_5$  are taken separately, are identical, and are  $(C_1-C_6)$ alkyl; or
- $R_4$  and  $R_5$  are taken separately, are different, and are aryl $(C_1-C_6)$ alkyl,  $(C_3-C_7)$ cycloalkyl or  $(C_3-C_7)$ cycloalkyl $(C_1-C_6)$ alkyl; or
- $R_4$  and  $R_5$  are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered saturated or partially unsaturated ring, said ring optionally containing one to three hetero atoms selected from O, S and N, said ring being optionally substituted with  $(C_1-C_6)$ alkyl, hydroxy or  $(C_1-C_6)$ alkoxy, said ring being optionally bridged with a  $(C_1-C_6)$ alkyl which may be gem-di $(C_1-C_6)$ alkylated or substituted with one to three hydroxy, oxo,  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, phenyl $(C_1-C_6)$ alkyl or  $CO-Q_1-Q_2-Q_3$ , said ring being optionally fused via two adjacent atoms shared with another ring selected from phenyl and heteroaryl, said heteroaryl ring containing four to eight carbon atoms which may be optionally replaced with one to three hetero atoms selected from O, S and

N;

m is 0, 1 or 2;

$R_8$  is  $(C_1-C_6)$ alkyl, said alkyl being optionally substituted with one to three halogen;

$-Q_1-$  is a bond,  $-O-$ ,

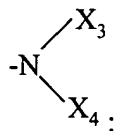


$-Q_2-$  is:

a)  $-(CH_2)_q-$ ;

b)  $-(CH_2-CH_2-O)_n-$ ;

$-Q_3$  is:  $-H$ ,  $-OH$ ,  $(C_1-C_6)$ alkoxy,  $-O-CO-X_3$ ,  $-NHX_3$ , or



R<sub>1</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three halogen, hydroxy, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

R<sub>2</sub> and R<sub>3</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three hydroxy, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;  
or

R<sub>2</sub> and R<sub>3</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7- membered ring, said ring containing one to three O, S or N, said ring being optionally bridged with a (C<sub>1</sub>-C<sub>6</sub>)alkyl which may be gem-dialkylated or substituted with one to three hydroxy, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

n is 1, 2, 3, 4 or 5;

Ar is a 5- or 6-membered aromatic ring containing 0 to 3 hetero atoms selected from O, S and N;

Y<sub>1</sub>, Y<sub>2</sub> and Y<sub>3</sub> are independently

- hydrogen, hydroxy, mercapto, amino, nitro, halogen, -NHR<sub>1</sub>, -NR<sub>2</sub>R<sub>3</sub>,

-(CH<sub>2</sub>)<sub>s</sub>CN or -(CH<sub>2</sub>)<sub>s</sub> CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -S(O)<sub>m</sub>R<sub>8</sub>;

s is 0, 1, 2, 3, 4, 5 or 6 ;

p is 0, 1, 2 or 3;

Z<sub>1</sub> is CH, N, O or S;

q is 0, 1, 2, 3, or 4;

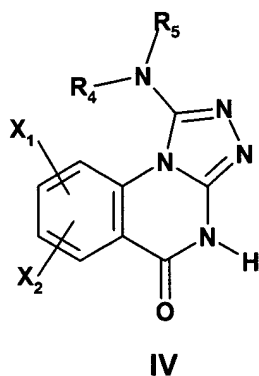
r is 2, 3, or 4; and

X<sub>3</sub> and X<sub>4</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl; or

X<sub>3</sub> and X<sub>4</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered ring, said ring containing one to three additional hetero atoms selected from O, S and N;

comprising reacting a compound of Formula IV,

A<sup>2</sup>



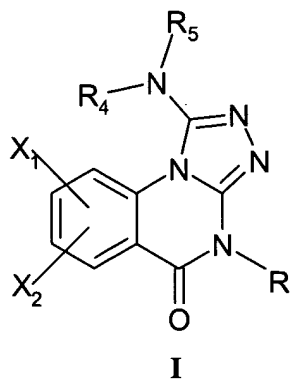
wherein  $X_1$ ,  $X_2$ ,  $R_4$  and  $R_5$  are as defined hereinabove,  
with a compound of the formula



wherein  $X'$  is halogen,  $-OCOX_7$  or  $-OSO_2X_7$  and  $X_7$  is  $(C_1-C_6)$ alkyl or aryl group ;  
to afford a compound of Formula I and its corresponding isomer of Formula II; and,  
optionally, separating said compound of Formula I and Formula II from each other.

A2

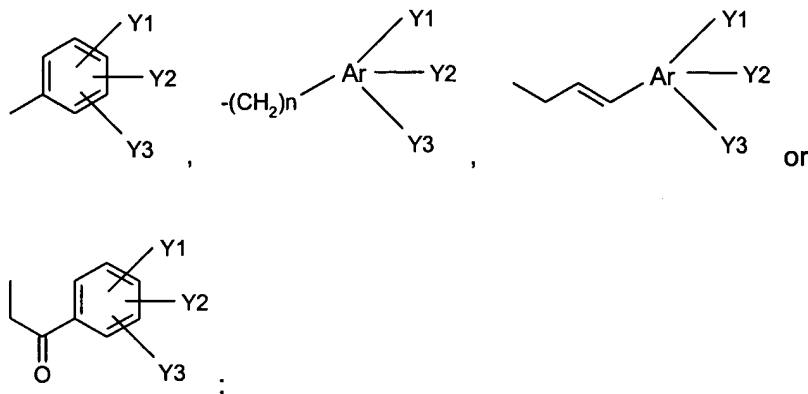
48. (Re-presented - formerly dependent claim # 14): A process for a  
compound of Formula I,



wherein

- $A_1$  is O or S ;
- $X_1$  and  $X_2$  are independently
- hydrogen, hydroxy, halogen, amino, nitro, mercapto, cyano or carboxyl;
- $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy or  $-S(O)_mR_8$ , said alkyl and alkoxy being optionally substituted on carbon with one to three halogen;
- $-CO-Q_1-Q_2-Q_3$ ;
- $-NH-R_1$ ; or
- $-NR_2R_3$ ;
- R is

- (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, aryl(C<sub>2</sub>-C<sub>6</sub>)alkynyl, or 2-, 3- or 4-pyridyl(C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, hydroxy, halogen or amino; or



A<sup>2</sup>

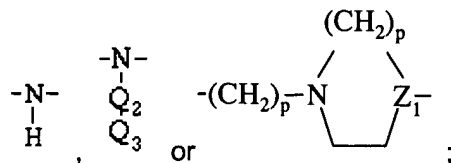
- R<sub>4</sub> and R<sub>5</sub> are taken separately, are identical, and are (C<sub>1</sub>-C<sub>6</sub>)alkyl; or
- R<sub>4</sub> and R<sub>5</sub> are taken separately, are different, and are aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl or (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl; or
- R<sub>4</sub> and R<sub>5</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered saturated or partially unsaturated ring, said ring optionally containing one to three hetero atoms selected from O, S and N, said ring being optionally substituted with (C<sub>1</sub>-C<sub>6</sub>)alkyl, hydroxy or (C<sub>1</sub>-C<sub>6</sub>)alkoxy, said ring being optionally bridged with a (C<sub>1</sub>-C<sub>6</sub>)alkyl which may be gem-di(C<sub>1</sub>-C<sub>6</sub>)alkylated or substituted with one to three hydroxy, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, phenyl(C<sub>1</sub>-C<sub>6</sub>)alkyl or CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>, said ring being optionally fused via two adjacent atoms shared with another ring selected from phenyl and heteroaryl, said heteroaryl ring containing four to eight carbon atoms which may be optionally replaced with one to three hetero atoms selected from O, S and

N;

m is 0, 1 or 2;

R<sub>8</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, said alkyl being optionally substituted with one to three halogen;

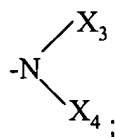
-Q<sub>1</sub>- is a bond, -O-,



-Q<sub>2</sub>- is:

- (CH<sub>2</sub>)<sub>q</sub>-;
- (CH<sub>2</sub>-CH<sub>2</sub>-O)<sub>i</sub>;

-Q<sub>3</sub> is: -H, -OH, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -O-CO-X<sub>3</sub>, -NHX<sub>3</sub>, or



R<sub>1</sub> is (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three halogen, hydroxy, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

R<sub>2</sub> and R<sub>3</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three hydroxy, halogen, cyano, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;  
or

R<sub>2</sub> and R<sub>3</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7- membered ring, said ring containing one to three O, S or N, said ring being optionally bridged with a (C<sub>1</sub>-C<sub>6</sub>)alkyl which may be gem-dialkylated or substituted with one to three hydroxy, oxo, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

n is 1, 2, 3, 4 or 5;

Ar is a 5- or 6-membered aromatic ring containing 0 to 3 hetero atoms selected from O, S and N;

Y<sub>1</sub>, Y<sub>2</sub> and Y<sub>3</sub> are independently

- hydrogen, hydroxy, mercapto, amino, nitro, halogen, -NHR<sub>1</sub>, -NR<sub>2</sub>R<sub>3</sub>,

-(CH<sub>2</sub>)<sub>s</sub>CN or -(CH<sub>2</sub>)<sub>s</sub> CO-Q<sub>1</sub>-Q<sub>2</sub>-Q<sub>3</sub>;

-(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy or -S(O)<sub>m</sub>R<sub>8</sub>;

s is 0, 1, 2, 3, 4, 5 or 6 ;

p is 0, 1, 2 or 3;

Z<sub>1</sub> is CH, N, O or S;

q is 0, 1, 2, 3, or 4;

r is 2, 3, or 4; and

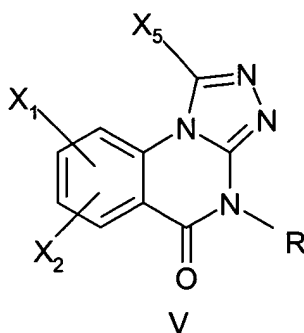
X<sub>3</sub> and X<sub>4</sub> are taken separately and are independently (C<sub>1</sub>-C<sub>6</sub>)alkyl; or

X<sub>3</sub> and X<sub>4</sub> are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered ring, said ring containing one to three additional hetero atoms selected from O, S and N;

comprising reacting a compound of Formula V,

A<sup>2</sup>





wherein

wherein  $X_1$ ,  $X_2$  and  $R$  are as defined hereinabove and  $X_5$  is halogen,  $-OCOX_7$ ,  $-OSO_2X_7$  or  $-SO_2X_7$ ; and

$X_7$  is  $(C_1-C_6)$ alkyl or aryl,

with a compound of the formula



wherein

-  $R_4$  and  $R_5$  are taken separately, are identical, and are  $(C_1-C_6)$ alkyl; or

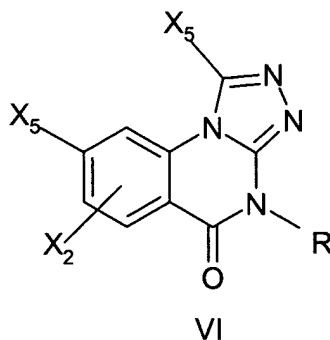
-  $R_4$  and  $R_5$  are taken separately, are different, and are aryl $(C_1-C_6)$ alkyl,  $(C_3-C_7)$ cycloalkyl or  $(C_3-C_7)$ cycloalkyl $(C_1-C_6)$ alkyl; or

-  $R_4$  and  $R_5$  are taken together with the nitrogen atom to which they are attached to form a 4-, 5-, 6- or 7-membered saturated or partially unsaturated ring, said ring optionally containing one to three hetero atoms selected from O, S and N, said ring being optionally substituted with  $(C_1-C_6)$ alkyl, hydroxy or  $(C_1-C_6)$ alkoxy, said ring being optionally bridged with a  $(C_1-C_6)$ alkyl which may be gem-di $(C_1-C_6)$ alkylated or substituted with one to three hydroxy, oxo,  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, phenyl $(C_1-C_6)$ alkyl or  $CO-Q_1-Q_2-Q_3$ , said ring being optionally fused via two adjacent atoms shared with another ring selected from phenyl and heteroaryl, said heteroaryl ring containing four to eight carbon atoms which may be optionally replaced with one to three hetero atoms selected from O, S and

N;

to obtain said compound of Formula I.

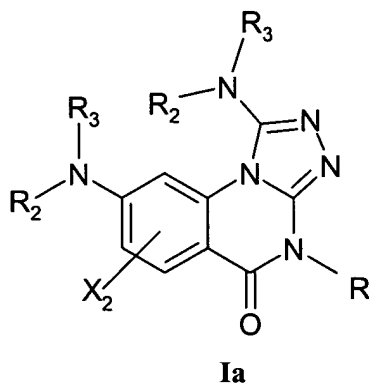
49. (Re-presented - formerly dependent claim # 15): A process of Claim 48 wherein when  $X_1$  is  $-NR_2R_3$  and  $-NR_2R_3$  and  $-NR_4R_5$  are identical, said compound of Formula I is prepared by reacting a compound of general formula VI :



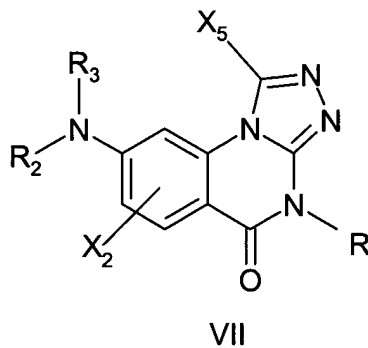
with a compound of the formula



to give a compound of Formula I having the structure of Formula Ia:



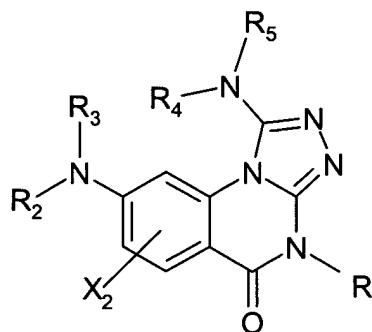
50. (Re-presented - formerly dependent claim # 16): A process of Claim 48 wherein when  $X_1$  is  $-\text{NR}_2\text{R}_3$  and  $-\text{NR}_2\text{R}_3$  and  $-\text{NR}_4\text{R}_5$  are different, said compound of Formula I is obtained by reacting a compound of Formula VII :



with a compound of the formula :



to afford a compound of Formula I having the structure of Formula Ib:



**Ib**

51. (Re-presented - formerly dependent claim # 17): A pharmaceutical composition comprising a compound of Claim 35 and a pharmaceutically acceptable excipient.

52. (Re-presented - formerly dependent claim # 18): A method of treating a condition or complaint mediated by inhibition of a phosphodiesterase receptor in a mammal comprising administering to said mammal a compound of claim 35, a pharmaceutically acceptable salt of said compound or a pharmaceutical composition said compound or said salt and a pharmaceutically acceptable excipient.

53. (Re-presented - formerly dependent claim # 19): A method of Claim 52 wherein said condition is asthma.

54. (Re-presented - formerly dependent claim # 20): A method of Claim 52 wherein said condition is chronic bronchitis or acute pulmonary attack.

55. (Re-presented - formerly dependent claim # 21): A method of Claim 52 wherein said condition is atopic dermatitis.

56. (Re-presented - formerly dependent claim # 22): A method of Claim 52 wherein said condition is pulmonary hypertension.

57. (Re-presented - formerly dependent claim # 23): A method of Claim 52 wherein said condition is pulmonary or cardiac insufficiency.

58. (Re-presented - formerly dependent claim # 24): A method of Claim 52 wherein said condition is psoriasis.

59. (Re-presented - formerly dependent claim # 25): A method of Claim 52 wherein said condition is an inflammatory condition of the digestive system such as haemorrhagic rectocolitis or Crohn's disease.

60. (Re-presented - formerly dependent claim # 26): A method of Claim 52 wherein said condition is diabetes or a condition associated with a high level of TNF- $\alpha$  such as acute respiratory distress syndrome or acute pancreatitis.

61. (Re-presented - formerly dependent claim # 27): A method of Claim 52 wherein said condition is benign hypertrophy of the prostate.

62. (Re-presented - formerly dependent claim # 28): A method of Claim 52 wherein said condition is chosen from rheumatoid arthritis and multiple sclerosis.

A<sup>2</sup>  
63. (Re-presented - formerly dependent claim # 29): A method of Claim 52 wherein said condition is chosen from depression, ischaemia-induced neuronal damage and partial cerebral ischaemia.

64. (Re-presented - formerly dependent claim # 30): A method of Claim 52 wherein said condition is cancer.

65. (New): A method of Claim 64 wherein said cancer is a malignant tumour or chronic lymphoid leukaemia.

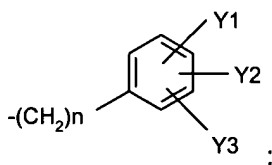
66. (Re-presented - formerly dependent claim # 31): A method for attenuating the development of tolerance or morphine-dependency phenomena in a mammal comprising administering to said mammal a compound of claim 35, a pharmaceutically acceptable salt of said compound or a pharmaceutical composition said compound or said salt and a pharmaceutically acceptable excipient.

67. (Re-presented - formerly dependent claim # 32): A method of reducing loss of behavioral memory in a mammal comprising administering to said mammal a compound of claim 35, a pharmaceutically acceptable salt of said compound or a pharmaceutical composition said compound or said salt and a pharmaceutically acceptable excipient.

68. (Re-presented - formerly dependent claim # 33): A method of preventing premature labor in a mammal comprising administering to said mammal a compound of claim 35, a pharmaceutically acceptable salt of said compound or a pharmaceutical composition said compound or said salt and a pharmaceutically acceptable excipient.

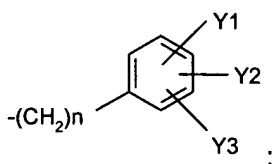
69. (Re-presented - formerly dependent claim # 34): A method of Claim 52 wherein said condition is septicaemia or multiple organ failure syndrome.

70. (New): A compound of claim 36 wherein R is



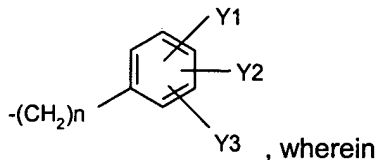
n is 1, 2 or 3; and Y1, Y2 and Y3 are each independently H or methoxy.

71. (New): A compound of claim 36 wherein R is



n is 1, 2 or 3; Y1 and Y2 are each H; and Y3, substituted at the 4-position, is  $(C_1-C_6)$ alkoxy, amino, nitro, hydroxy,  $-(CH_2)_sCO-Q_1-Q_2-Q_3$ ,  $-(CH_2)_s-CN$ , or  $(C_1-C_6)$ alkyl optionally substituted with one to three halo.

72. (New): A compound of claim 37 wherein R is



n is 1, 2 or 3; and Y1, Y2 and Y3 are each H or methoxy.

73. (New): A compound of claim 72 wherein Y1, Y2 and Y3, substituted at the 3-, 4- and 5-position, respectively, are each methoxy.

74. (New): A compound of claim 37 wherein R is

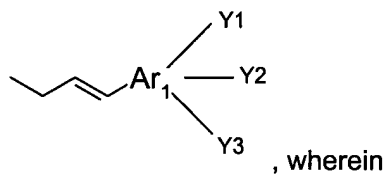


Y3, substituted at the 4-position, is

hydroxy;

$$-(\text{CH}_2)_s\text{CO-Q}_1\text{-Q}_2\text{-Q}_3$$
 in which  $s$  is 0 or 1;  $\text{Q}_1$  is O, -NH- or a bond;  $\text{Q}_2$  is - $-(\text{CH}_2)_s-\text{CN}$  wherein  $s$  is 0 or 1.

**R is**



76. (New): A compound of claim 46 wherein said halogen is F, Br or Cl.

77. (New): A compound of claim 48 wherein said halogen is F, Br or Cl.